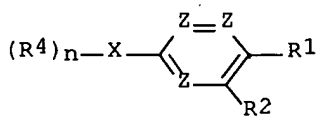
L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:185097 CAPLUS
DN 136:247591
TI Preparation of arylmorpholines as inhibitors of DNA-dependent protein kinase and methods to potentiate cancer treatment
IN Halbrook, James; Kesicki, Edward; Burgess, Laurence E.; Schlachter, Stephen T.; Eary, Charles T.; Schiro, Justin G.; Huang, Hongmei; Evans, Michael; Han, Yongxin
PA Icos Corporation, USA
SO PCT Int. Appl., 247 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002020500 | A2 | 20020314 | WO 2001-US26709 | 20010828 |
| | WO 2002020500 | A3 | 20030731 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001088432 | A5 | 20020322 | AU 2001-88432 | 20010828 |
| | US 2002165218 | A1 | 20021107 | US 2001-941897 | 20010828 |
| | EP 1351946 | A2 | 20031015 | EP 2001-968164 | 20010828 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| PRAI | US 2000-229899P | P | 20000901 | | |
| | WO 2001-US26709 | W | 20010828 | | |

OS MARPAT 136:247591
GI



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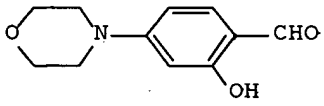


II

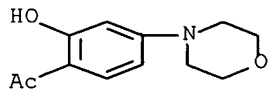
AB Compds. that inhibit DNA-dependent protein kinase, I [n = 0-4; X = (un)substituted 4-7 membered aliph. ring contg. 0-3 heteroatoms consisting of N, O and S (X = morpholinyl preferred); Z = independently N or CR3; R3 = independently H, halo, CHO, alkoxy, etc.; R1 = H, (un)substituted alkyl, cycloalkyl, CO, NO2, etc.; R2 = H, (un)substituted alkyl, carbamoyl, alkoxy, sulfamyl, etc.; with provision when X = morpholinyl, R2 and R4 and

R3 = H at each occurrence, then R1 is different from COMe, phenylalkene, and NO2; and with the provision that when X = morpholinyl, R4 = H and Z = N at each occurrence, then R1 and R2 when taken together is different from triazole], were prepd. and compns. of I with other antineoplastic agents are claimed for use in cancer treatment therapy. Thus, II was prepd. in 23% yield via formylation of 3-(4-morpholinyl)phenol. II demonstrated an IC50 value of 400 nM in DNA-PK assay. Preliminary results of animal tumor model studies indicate II enhanced the tumoristatic effect of total body irradn. (using 100-500 rad .gamma.-radiation, II delayed tumor growth 1.2 to 1.8-fold relative to animals receiving radiation only).

IT **404009-40-1P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of arylmorpholines as inhibitors of DNA-dependent protein kinase for cancer treatment)

RN 404009-40-1 CAPLUS

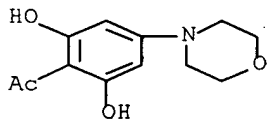
CN Ethanone, 1-[2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)




IT **404011-08-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target compd.; prepn. of arylmorpholines as inhibitors of DNA-dependent protein kinase for cancer treatment)

RN 404011-08-1 CAPLUS

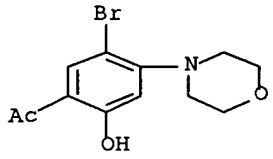
CN Ethanone, 1-[2,6-dihydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



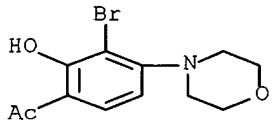

IT **404009-52-5P 404009-54-7P 404009-56-9P**
**404009-58-1P 404009-60-5P 404009-62-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of arylmorpholines as inhibitors of DNA-dependent protein kinase for cancer treatment)

RN 404009-52-5 CAPLUS

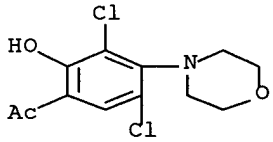
CN Ethanone, 1-[5-bromo-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



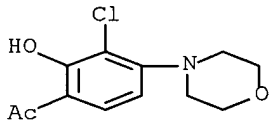
RN 404009-54-7 CAPLUS
CN Ethanone, 1-[3-bromo-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



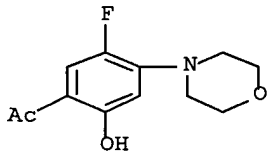
RN 404009-56-9 CAPLUS
CN Ethanone, 1-[3,5-dichloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



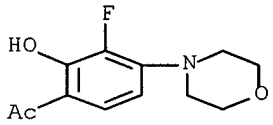
RN 404009-58-1 CAPLUS
CN Ethanone, 1-[3-chloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



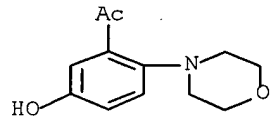
RN 404009-60-5 CAPLUS
CN Ethanone, 1-[5-fluoro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



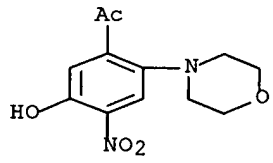
RN 404009-62-7 CAPLUS
CN Ethanone, 1-[3-fluoro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



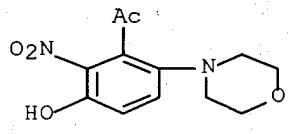
L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:335235 CAPLUS
DN 126:343344
TI Diels-Alder Reaction of 2-Amino-Substituted Furans as a Method for Preparing Substituted Anilines
AU Padwa, Albert; Dimitroff, Martin; Waterson, Alex G.; Wu, Tianhua
CS Department of Chemistry, Emory University, Atlanta, GA, 30322, USA
SO Journal of Organic Chemistry (1997), 62(12), 4088-4096
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 126:343344
AB 5-Amino-2-furancarboxylic acid Me ester undergoes a facile Diels-Alder cycloaddn. with a variety of dienophiles to afford ring-opened cycloadducts that are readily dehydrated using BF3.cntdot.OEt2 to give polysubstituted anilines. In each case, the cycloaddn. proceeds with high regioselectivity, with the electron-withdrawing group being located ortho to the amino group. The most favorable FMO interaction is between the HOMO of the furanamine and the LUMO of the dienophile. The at. coeff. at the ester carbon of the furan is larger than that at the amino center, and this nicely accommodates the obsd. regioselectivity. The [4 + 2]-cycloaddn. of N-(5-nitrofuranyl)morpholine with Me vinyl ketone affords a mixt. of three phenols. One of the phenols is derived from a Diels-Alder reaction followed by nitro group ejection and subsequent aromatization. The remaining two phenols are the result of cleavage of the initially formed oxabicyclic intermediate with concomitant migration of the nitro group. The mild reaction conditions with which furan-2-carbamic acid tert-Bu ester undergoes Diels-Alder cycloaddn. with N-phenylmaleimide allow for the ready isolation of the initial oxybridged cycloadduct.
IT **189746-76-7P 189746-77-8P 189746-80-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(Diels-Alder reaction of 2-aminofurans as method for prepg. anilines)
RN 189746-76-7 CAPLUS
CN Ethanone, 1-[5-hydroxy-2-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)




RN 189746-77-8 CAPLUS
CN Ethanone, 1-[5-hydroxy-2-(4-morpholinyl)-4-nitrophenyl]- (9CI) (CA INDEX NAME)




RN 189746-80-3 CAPLUS
CN Ethanone, 1-[3-hydroxy-6-(4-morpholinyl)-2-nitrophenyl]- (9CI) (CA INDEX NAME)


Ac
O2N
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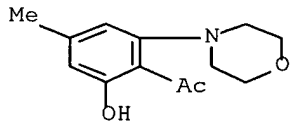
O
HO

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1985:422242 CAPLUS
DN 103:22242
TI Pyran derivatives. 107. Preparation and reactions of 2-acetyl-3-amino-5-hydroxy-2-cyclohexenones; benzene derivatives from pyrones
AU Eiden, Fritz; Patzelt, Gertrud
CS Inst. Pharm. Lebensmittelchem., Univ. Muenchen, Munich, 8000/2, Fed. Rep. Ger.
SO Archiv der Pharmazie (Weinheim, Germany) (1985), 318(4), 328-40
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA German
OS CASREACT 103:22242
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Acetylpyrene I reacted with HNR22 [NR22 = NMe2, NEt2, NMeCH2CH2Ph, piperidino, morpholino, perhydroazepine, 4-(2-pyridyl)-1-piperazinyl, 4-methyl-1-piperazinyl, 4-[3-(trifluoromethyl)phenyl]-1-piperazinyl, 1-piperazinyl] gave aminocyclohexenones II and III and aminophenols IV. NH3 and 1,2-C6H4(NH2)2 gave pyridinones V (R = H, 2-H2NC6H4) or VI. The amine group in II (R2 = Me) (VII) was replaced by reaction with NH3, amines, amino acids, and hydrazine derivs. VII cyclized with PhC(:NH)NH2 or H2NNHR1 (R1 = Ph, Me) to give quinazoline VIII or indazoles IX. Treating II, III, the transamination analogs of VII, VIII, or IX with KOH in EtOH gave the corresponding phenol dehydration products.

IT **97066-10-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 97066-10-9 CAPLUS
CN Ethanone, 1-[2-hydroxy-4-methyl-6-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1983:575385 CAPLUS
DN 99:175385
TI Aminophenol acetic acid
IN Wenk, Paul; Breitenstein, Werner; Baumann, Marcus
PA Ciba-Geigy A.-G. , Switz.
SO Brit. UK Pat. Appl., 45 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | GB 2109373 | A1 | 19830602 | GB 1982-30352 | 19821025 |
| | GB 2109373 | B2 | 19860115 | | |
| | EP 82109 | A2 | 19830622 | EP 1982-810440 | 19821022 |
| | EP 82109 | A3 | 19850417 | | |
| | R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE | | | | |
| | FI 8203641 | A | 19830429 | FI 1982-3641 | 19821025 |
| | ES 516843 | A1 | 19850516 | ES 1982-516843 | 19821026 |
| | DK 8204760 | A | 19830429 | DK 1982-4760 | 19821027 |
| | NO 8203586 | A | 19830429 | NO 1982-3586 | 19821027 |
| | AU 8289824 | A1 | 19830505 | AU 1982-89824 | 19821027 |
| | ZA 8207845 | A | 19830629 | ZA 1982-7845 | 19821027 |
| | HU 30695 | O | 19840328 | HU 1982-3449 | 19821027 |
| | JP 58150544 | A2 | 19830907 | JP 1982-191738 | 19821028 |
| | DD 208798 | A5 | 19840411 | DD 1982-244347 | 19821028 |
| | ES 529377 | A1 | 19851101 | ES 1984-529377 | 19840201 |
| | ES 529378 | A1 | 19851101 | ES 1984-529378 | 19840201 |
| | ES 529379 | A1 | 19851101 | ES 1984-529379 | 19840201 |
| | ES 529380 | A1 | 19851201 | ES 1984-529380 | 19840201 |
| | ES 529376 | A1 | 19860601 | ES 1984-529376 | 19840201 |
| | ES 537285 | A1 | 19850816 | ES 1984-537285 | 19841031 |
| PRAI | CH 1981-6883 | | 19811028 | | |

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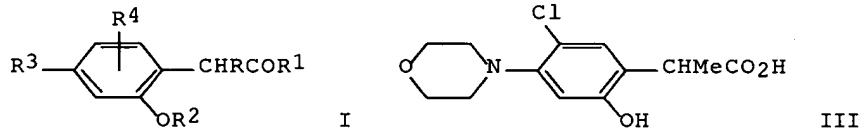
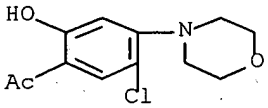



I III

AB Phenylacetic acids I (R = H1, aliph.; R1 = OH, esterified OH, amino; R2 = H, acyl; R3 = amino; R4 = H, substituent) were prepd. as inflammation inhibitors, analgesics,and sunscreens (no data). Thus, treating imidazo[1,2-a]pyridin-2(3H)-one-HCl with maleic acid gave its 3-(1,2-diacarboxyethyl) deriv. which was treated with MeCOCH:CH2 and hydrolyzed to give 4-methyl-3-(3-oxobutyl)maleic anhydride (II). II was treated with morpholinium benzoate to give 3-methyl-6-morpholinobenzofuran-2(3H)-one which was converted to its 5-chloro deriv. and hydrolyzed to III.

IT **87203-04-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)(prepn. and methylation of)

RN 87203-04-1 CAPLUS
CN Ethanone, 1-[5-chloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)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L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1983:539751 CAPLUS
DN 99:139751
TI Furans
IN Wenk, Paul; Breitenstein, Werner; Baumann, Marcus
PA Ciba-Geigy A.-G. , Switz.
SO Eur. Pat. Appl., 103 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 78241 | A2 | 19830504 | EP 1982-810439 | 19821022 |
| | EP 78241 | A3 | 19840328 | | |
| | R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE | | | | |
| | US 4426380 | A | 19840117 | US 1982-435595 | 19821021 |
| | FI 8203640 | A | 19830429 | FI 1982-3640 | 19821025 |
| | GB 2110210 | A1 | 19830615 | GB 1982-30351 | 19821025 |
| | GB 2110210 | B2 | 19850703 | | |
| | ES 516842 | A1 | 19840116 | ES 1982-516842 | 19821026 |
| | CA 1199635 | A1 | 19860121 | CA 1982-414197 | 19821026 |
| | DK 8204759 | A | 19830429 | DK 1982-4759 | 19821027 |
| | NO 8203585 | A | 19830429 | NO 1982-3585 | 19821027 |
| | AU 8289823 | A1 | 19830505 | AU 1982-89823 | 19821027 |
| | ZA 8207844 | A | 19830629 | ZA 1982-7844 | 19821027 |
| | DD 204699 | A5 | 19831207 | DD 1982-244314 | 19821027 |
| | HU 29609 | O | 19840228 | HU 1982-3447 | 19821027 |
| | JP 58126882 | A2 | 19830728 | JP 1982-191737 | 19821028 |
| | US 4451462 | A | 19840529 | US 1983-542334 | 19831017 |
| | ES 526890 | A1 | 19851001 | ES 1983-526890 | 19831028 |
| | ES 526892 | A1 | 19851001 | ES 1983-526892 | 19831028 |
| | ES 526891 | A1 | 19860201 | ES 1983-526891 | 19831028 |
| PRAI | CH 1981-6882 | | 19811028 | | |
| | US 1982-435595 | | 19821021 | | |

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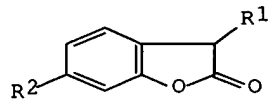

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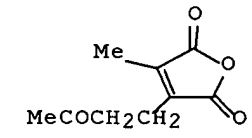


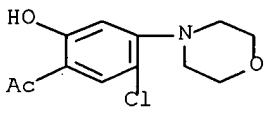
II

AB Benzofuranones I (R1 = H, aliph. group; R2 = amino disubstituted with hydrocarbondiyl; benzo ring may be addnl. substituted) and their salts and(or) isomers, useful as inflammation inhibitors, analgesics, and sunscreens for skin (no data), were prepd. Imidazo[1,2-a]pyridin-2(3H)-one hydrochloride in aq. NaOH added to maleic acid to give 3-(1,2-dicarboxyethyl)imidazo[1,2-a]pyridin-2-(3H)-one. The HCl salt of this added to MeCOCH:CH2 and the product was decarboxylated and hydrolyzed to give maleic anhydride II. This reacted with morpholinium benzoate in refluxing C6H6 in 48 h with H2O sepn. to give I (R1 = Me, R2 = morpholino).

IT **87203-04-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT(Reactant or reagent)(prepn. and etherification of)

RN 87203-04-1 CAPLUS

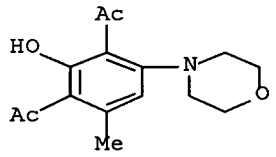
CN Ethanone, 1-[5-chloro-2-hydroxy-4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1981:568872 CAPLUS
DN 95:168872
TI Benzene derivatives from 4-pyrones: the reaction of 3,5-diacetyl- and 3,5-bisethoxycarbonyl-4-pyrones with secondary amines
AU Eiden, Fritz; Teupe, Ernst Guenther; Leister, Hans Peter
CS Inst. Pharm. Lebensmittelchem., Univ. Muenchen, Munich, 8000/2, Fed. Rep. Ger.
SO Archiv der Pharmazie (Weinheim, Germany) (1981), 314(4), 347-55
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA German
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

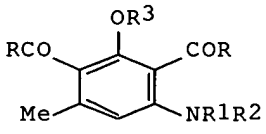
AB The reactions of title pyrones I (R = EtO, Me) with cyclic R12NH (e.g., piperidine, morpholine) gave phenols II and, in the case of I (R = Me) with piperazine, bisphenol III. II (R = Me, NR12 = piperidino) and III reacted with Me2NCH(OCHMe2)2 to give IV and V. The reaction of IV with hydrazines gave pyrazoles VI (R2 = Ph, Me). Hydrolysis of II (R = Me, NR12 = 4-cyano-4-phenylpiperidino) gave VII (R3 = CONH2, CO2Et).
IT **77600-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 77600-95-4 CAPLUS
CN Ethanone, 1,1'-[2-hydroxy-4-methyl-6-(4-morpholinyl)-1,3-phenylene]bis- (9CI) (CA INDEX NAME)



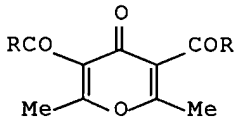
L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1981:191938 CAPLUS
DN 94:191938
TI 2-Hydroxy-4-methylbenzene compounds
IN Eiden, Fritz; Teupe, Ernst Guenther; Leister, Hans Peter; Mayer, Dieter
PA Thiemann, Dr., G.m.b.H. Chem.-Pharm. Fabrik, Fed. Rep. Ger.
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 2922488 | A1 | 19801211 | DE 1979-2922488 | 19790601 |
| PRAI DE 1979-2922488 | | 19790601 | | |

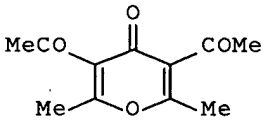
GI



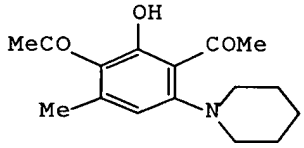
I



II



III



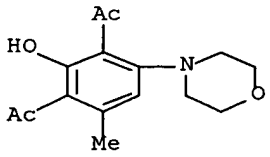
IV

AB I [R = Me or C1-4 alkoxy; R1 and R2 were C1-4 alkyl or (R1R2N =) heterocyclyl; R3 = H, Me, or Et] were prepd. by reaction of II with secondary amines. Thus 2 g III and 950 mg piperidine were heated 1.5 h at 100.degree. to give 84% IV.

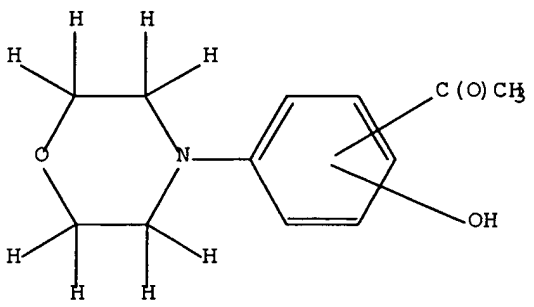
IT **77600-95-4P**
RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 77600-95-4 CAPLUS

CN Ethanone, 1,1'-[2-hydroxy-4-methyl-6-(4-morpholinyl)-1,3-phenylene]bis- (9CI) (CA INDEX NAME)



=> d l1; d his; log y
L1 HAS NO ANSWERS
L1 STR




G1 C,O,S,N,P
G2 H,O,S,N,X

Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 20:02:10 ON 28 OCT 2003)

FILE 'REGISTRY' ENTERED AT 20:02:32 ON 28 OCT 2003
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 14 S L1 FUL

FILE 'CAPLUS' ENTERED AT 20:02:54 ON 28 OCT 2003
L4 7 S L3

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 32.17 | 180.53 |

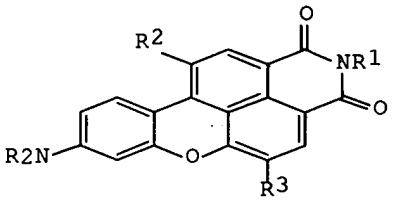
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -4.56 | -4.56 |

STN INTERNATIONAL LOGOFF AT 20:03:29 ON 28 OCT 2003

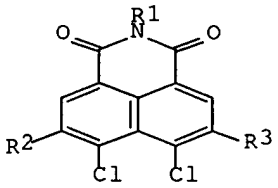
L18 ANSWER 200 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:407278 CAPLUS
DN 85:7278
TI Benzoxanthene derivatives
IN Imahori, Seiichi; Murata, Yukichi; Maeda, Shuichi; Suzuki, Sumio
PA Mitsubishi Chemical Industries Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 51016335 | A2 | 19760209 | JP 1974-87836 | 19740731 |
| PRAI JP 1974-87836 | | 19740731 | | |

GI



I

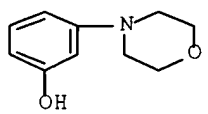


II

AB Benzoxanthenes I [R = Me, Et, CH2CH2CO2Et or (NR2) = morpholino; R1 = Me, (CH2)3OMe; R2 and(or) R3 = NO2] are prepd. by condensation of dichloronaphthalimides II with m-R2NC6H4OH. Thus, II (R1 = Me, R2 = R3 = NO2) [59344-54-6] and m-Et2NC6H4OH [91-68-9] were condensed 5 hr in refluxing pyridine, dild. with MeOH and filtered to give (R = Et, R1 = Me, R2 = R3 = NO2) [59344-55-7] as red crystals, fluorescing orange-red in C6H6 soln. and dyeing polyester fibers bright red. Similarly prepd. were 4 addnl. I giving orange to scarlet shades on polyester fibers.

IT **27292-49-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dichloro(methoxypropyl)dinitronaphthalimide)

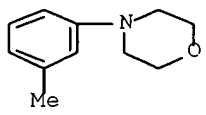
RN 27292-49-5 CAPLUS
CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 201 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:137212 CAPLUS
DN 84:137212
TI Thiazole azo dyes
IN Hohmann, Knut; Mohr, Reinhard; Haehnke, Manfred
PA Hoechst A.-G., Fed. Rep. Ger.
SO Ger. Offen., 25 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2433229 | A1 | 19760129 | DE 1974-2433229 | 19740711 |
| | DE 2433229 | C3 | 19790607 | | |
| | DE 2433229 | B2 | 19781005 | | |
| | NL 7508015 | A | 19760113 | NL 1975-8015 | 19750704 |
| | US 4046752 | A | 19770906 | US 1975-594111 | 19750708 |
| | CH 629519 | A | 19820430 | CH 1975-8901 | 19750708 |
| | DD 120038 | C | 19760520 | DD 1975-187203 | 19750709 |
| | IN 141858 | A | 19770423 | IN 1975-CA1340 | 19750709 |
| | GB 1498744 | A | 19780125 | GB 1975-28870 | 19750709 |
| | FR 2277832 | A1 | 19760206 | FR 1975-21652 | 19750710 |
| | FR 2277832 | B1 | 19781103 | | |
| | JP 51031725 | A2 | 19760318 | JP 1975-84028 | 19750710 |
| | JP 58045468 | B4 | 19831011 | | |
| | BR 7504360 | A | 19760706 | BR 1975-4360 | 19750710 |
| | CA 1059120 | A1 | 19790724 | CA 1975-231251 | 19750710 |
| | BE 831309 | A1 | 19760112 | BE 1975-158247 | 19750711 |
| PRAI | DE 1974-2433229 | | 19740711 | | |

GI For diagram(s), see printed CA Issue.
AB 2-Aminothiazole (II) [96-50-4] or its derivs. were prepd. by the condensation of H2NCSNH2 [62-56-6] with ClCH2CHO [107-20-0] or its derivs.
and used without isolation as either the diazo or coupling component in the manuf. of thiazole group-contg. cationic and disperse azo dyes. Thus,
a H2O soln. of ClCH2CHO was added to a suspension of H2NCSNH2 in HOAc, the
soln. was stirred for 2 hr at 40-50.degree., cooled to 0.degree., the nonisolated I diazotized with nitrosylsulfuric acid, and coupled with N-(3-methylphenyl)morpholine [**7025-91-4**] to give II [58709-28-7].
IT **7025-91-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with diazotized aminothiazole)
RN 7025-91-4 CAPLUS
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)

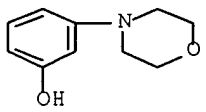


L18 ANSWER 202 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:73888 CAPLUS
DN 84:73888
TI 3-Aminophenols
IN Mueller, Werner
PA Hoechst A.-G., Fed. Rep. Ger.
SO Ger. Offen., 18 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 3

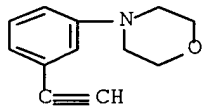
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2402695 | A1 | 19751211 | DE 1974-2402695 | 19740121 |
| | DE 2402695 | B2 | 19790823 | | |
| | DE 2402695 | C3 | 19800529 | | |
| | ZA 7500189 | A | 19760128 | ZA 1975-189 | 19750110 |
| | NL 7500523 | A | 19750723 | NL 1975-523 | 19750116 |
| | CH 609315 | A | 19790228 | CH 1975-509 | 19750116 |
| | GB 1481573 | A | 19770803 | GB 1975-2114 | 19750117 |
| | JP 50101331 | A2 | 19750811 | JP 1975-7913 | 19750120 |
| | JP 59027329 | B4 | 19840705 | | |
| | CA 1064054 | A1 | 19791009 | CA 1975-218250 | 19750120 |
| | BE 824612 | A1 | 19750722 | BE 1975-152567 | 19750121 |
| | FR 2258370 | A1 | 19750818 | FR 1975-1758 | 19750121 |
| | BR 7500406 | A | 19751104 | BR 1975-406 | 19750121 |
| | US 4212823 | A | 19800715 | US 1976-707016 | 19760720 |
| PRAI | DE 1974-2402695 | | 19740121 | | |
| | US 1975-542423 | | 19750120 | | |

AB 3-Aminophenols were prepd. by the dehydrogenation of 3-amino-2-cyclohexen-
1-ones. Thus, 3-amino-2-cyclohex-1-one (I) was heated to 193-6.degree. in
(EtOCH2CH2OCH2)2 soln. in the presence of Pd-C catalyst to give 95% 3-HOC6H4NH2, I was prepd. by the reaction of 1,3-cyclohexanedione with NH3.

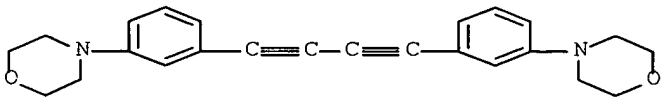
IT **27292-49-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 27292-49-5 CAPLUS
CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 203 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1976:73786 CAPLUS
DN 84:73786
TI Synthesis of N,N-dialkylaminophenylacetylenes
AU Terpugova, M. P.; Kotlyarevskii, I. L.; Amosov, Yu. I.; Myasnikova, R. N.
CS Inst. Khim. Kinet. Goreniya, Novosibirsk, USSR
SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1975), (12), 2808-11
CODEN: IASKA6; ISSN: 0002-3353
DT Journal
LA Russian
AB Mixts. of m- and p-RNR1C6H4C.tplbond.CH (R = R1 = Et, Bu; RNR1 = morpholino, piperidino) were prepd. in 70-94.5% yield by reaction of p-BrC6H4C.tplbond.CH with RNR1H in the presence of NaNH2. Oxidative dimerization of p-RNR1C6H4C.tplbond.CH gave 75-97.5% (p-RNR1C6H4C.tplbond.C)2.
IT **41876-71-5P 58300-73-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 41876-71-5 CAPLUS
CN Morpholine, 4-(3-ethynylphenyl)- (9CI) (CA INDEX NAME)



RN 58300-73-5 CAPLUS
CN Morpholine, 4,4'-(1,3-butadiyne-1,4-diyldi-3,1-phenylene)bis- (9CI) (CA INDEX NAME)

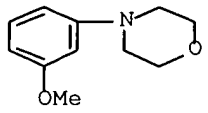


L18 ANSWER 204 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1975:606270 CAPLUS
DN 83:206270
TI Imidazo[4,5-b]pyridines
IN Kutter, Eberhard; Austel, Volkard; Diederen, Willi
PA Thomae, Dr. Karl, G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 36 pp. Addn. to Ger. Offen. 2,305,339.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2361757 | A1 | 19750626 | DE 1973-2361757 | 19731212 |
| | FI 58126 | B | 19800829 | FI 1973-3989 | 19731227 |
| | FI 58126 | C | 19801210 | | |
| | AT 7400164 | A | 19760215 | AT 1974-164 | 19740110 |
| | AT 332873 | B | 19761025 | | |
| | ES 422450 | A1 | 19760501 | ES 1974-422450 | 19740119 |
| | CS 200169 | P | 19800829 | CS 1974-443 | 19740123 |
| | SU 563917 | D | 19770630 | SU 1974-1990335 | 19740129 |
| | NL 7401254 | A | 19740806 | NL 1974-1254 | 19740130 |
| | NL 173645 | B | 19830916 | | |
| | NL 173645 | C | 19840216 | | |
| | CH 605939 | A | 19781013 | CH 1974-1363 | 19740131 |
| | RO 79057 | P | 19820625 | RO 1974-77478 | 19740131 |
| | RO 84276 | P | 19840523 | RO 1974-106042 | 19740131 |
| | FR 2215968 | A1 | 19740830 | FR 1974-3491 | 19740201 |
| | JP 49102693 | A2 | 19740927 | JP 1974-13568 | 19740201 |
| | JP 57048556 | B4 | 19821016 | | |
| | DD 108989 | C | 19741012 | DD 1974-176324 | 19740201 |
| | AU 7465129 | A1 | 19750807 | AU 1974-65129 | 19740201 |
| | GB 1445824 | A | 19760811 | GB 1974-4808 | 19740201 |
| | HU 170909 | P | 19770928 | HU 1974-TO951 | 19740201 |
| | CA 1041502 | A1 | 19781031 | CA 1974-191585 | 19740201 |
| | NO 139386 | C | 19790228 | NO 1974-327 | 19740201 |
| | NO 139386 | B | 19781120 | | |
| | DK 140760 | B | 19791112 | DK 1974-563 | 19740201 |
| | DK 140760 | C | 19800421 | | |
| | SE 411451 | B | 19791227 | SE 1974-1393 | 19740201 |
| | SE 411451 | C | 19800417 | | |
| | PL 93127 | P | 19770530 | PL 1974-168533 | 19740202 |
| | US 3985891 | A | 19761012 | US 1975-606886 | 19750822 |
| | SU 634673 | D | 19781125 | SU 1975-2170503 | 19750827 |
| PRAI | DE 1973-2305339 | | 19730203 | | |
| | DE 1973-2361757 | | 19731212 | | |
| | US 1974-439362 | | 19740204 | | |

GI For diagram(s), see printed CA Issue.
AB Imidazopyridines I and II (R = substituted phenyl, R1 = aminoalkyl) (67 compds.) which affect blood pressure, have a positive inotropic effect, inhibit ulceration blood platelet aggregation, and prolong bleeding time (no data) were prepd. Thus, 2,6-(MeO)2C6H3CO2H was condensed with 2,3-pyridinediamine to give I [R = 2,6-(MeO)2C6H3].
IT **32040-09-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with methylmercaptodithiolanium methyl sulfate)
RN 32040-09-8 CAPLUS

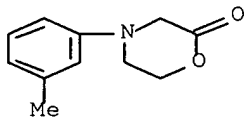
CN Morpholine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 205 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1975:531305 CAPLUS
DN 83:131305
TI Arylamines
IN Kubba, Ved P.; Jenny, Walter
PA CIBA of India Ltd., India
SO Indian, 43 pp. Division of Indian 130,211
CODEN: INXXAP
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI IN 135540 | | 19740817 | IN 1971-135540 | 19710208 |

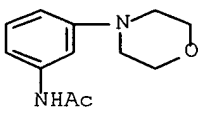
GI For diagram(s), see printed CA Issue.
AB M-toluidine was condensed with ClCH2CO2H; N-(carboxymethyl)toluidine obtained was treated with ClCH2CH2OH in 2 N NaOH, and the resulting 4-m-tolyl-2-oxomorpholine heated with Et2NH in xylene at 150.degree. for 12 hr to give the amine I.
IT **20127-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and ring cleavage of, with diethylamine)
RN 20127-72-4 CAPLUS
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 206 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1975:429850 CAPLUS
DN 83:29850
TI Azo disperse dyes
IN Akai, Takamasa; Nakagaki, Hiroshi
PA Kobe Chemical Industry Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 50002727 | A2 | 19750113 | JP 1973-52331 | 19730510 |
| PRAI | JP 1973-52331 | | 19730510 | | |

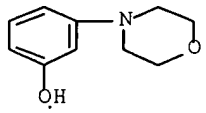
GI For diagram(s), see printed CA Issue.
AB Disperse azo dyes are prepd. by diazo coupling of 1-acylamino-3-morpholinobenzenes with aniline derivs. Thus, 4-O2NC6H4NH2 [100-01-6] was diazotized and coupled with 1-(acetylamino)-3-morpholinobenzene [**41605-91-8**] to give disperse azo dye I (R = Me, R1 = R3 = H, R2 = NO2) [55524-80-6], yellowish red on polyester fibers. The following I were similarly prepd. (R-R3 and shade on polyester fibers given): Me, Br, NO2, NO2, bluish violet; Et, H, NO2, H, yellowish red; Me, H, MeSO2, H, yellow-orange; Me, Cl, NO2, H, bluish violet; Me, CN, NO2, H, reddish violet.
IT **41605-91-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling of, with diazotized nitroaniline)
RN 41605-91-8 CAPLUS
CN Acetamide, N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 207 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1975:155802 CAPLUS
DN 82:155802
TI Benzophenone derivatives
IN Yahagi, Masakichi; Toyama, Takafumi; Igaki, Tetsuo
PA Nisso Chemical Industries, Ltd.
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 49133367 | A2 | 19741221 | JP 1973-47349 | 19730428 |
| | JP 52010871 | B4 | 19770326 | | |
| PRAI | JP 1973-47349 | | 19730428 | | |

GI For diagram(s), see printed CA Issue.
AB Benzophenone derivs. (I; R = piperidino, pyrrolidino, morpholino) were prepd. by reacting m-RC6H4OH with phthalic anhydride (II). Thus, a mixt. of 18 g m-pyrrolidinophenol and 15 g II in PhMe was stirred 4 hr at 110.degree. to give 21 g I (R = pyrrolidino).
IT **27292-49-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phthalic anhydride)
RN 27292-49-5 CAPLUS
CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 208 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1975:45033 CAPLUS
DN 82:45033
TI Azo dyes
IN Kubba, Ved P.; Jenny, Walter
PA CIBA of India Ltd.
SO Indian, 43 pp.
CODEN: INXXAP
DT Patent
LA English
FAN.CNT 1

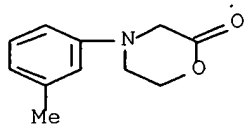
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI IN 130211 | | 19740720 | IN 1971-130211 | 19710208 |

GI For diagram(s), see printed CA Issue.

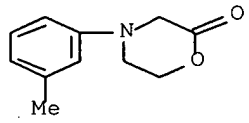
AB Two hundred azo dyes (I, R = aryl or substituted aryl; R1 = H, Me, Ph, PhCH2, MeO, PhO, Cl, Br, MeS; R2 = H, Me, OMe, OEt, OPh; R3 = OH, OAc, OBz, PrCO2, ClCH2CO2, CH2:CHCO2, p-MeOC6H4CO2, p-MeC6H4CO2; o-ClC6H4CO2, BuNHCO2, PhNHCO2, p-ClC6H4NHCO2, cyclohexylcarbamoyloxy, furyl- or thienylcarbonyloxy, BuOCO2; R4 = H, Me, Et, Pr, Ph, PhCH2, AcOCH2CH2, NCCH2CH2, p-ClC6H4, m-MeC6H4, o-MeOC6H4, MeOCH2CH2, naphthyl; R5 = H, Me, Et, Ph, AcOCH2CH2, MeOCH2CH2, p-ClC6H4, p-MeC6H4, thiazolyl, pyridyl) were prepd. and dyed polyester, polyamide, polyolefin, acrylic, wool, and silk fibers and leather fast shades. Thus, m-MeC6H4NH2 [108-44-1] condensed with ClCH2CO2H [79-11-8] gave N-(carboxymethyl)-m-toluidine [21911-67-1], and reaction with ClCH2CH2OH [107-07-3] and NaOH gave 4-(m-tolyl)-2-oxomorpholine (II) [**20127-72-4**]. II was treated with MeNH2 [74-89-5] in dry xylene to give m-MeC6H4N(CH2CH2OH)CH2CONHMe [32724-40-6] which was coupled with diazotized 2,4-Cl(O2N)C6H3NH2 [121-87-9] to give azo dye I (R = 2,4-Cl(O2N)C6H3; R1 = Me; R2 = R4 = H, R3 = OH, R5 = Me) [31676-25-2], red on polyester fibers.

IT **20127-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and reaction of, with methylamine)

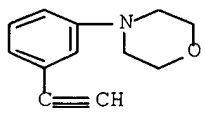
RN 20127-72-4 CAPLUS
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



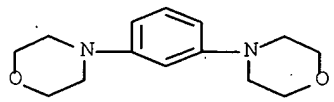
L18 ANSWER 209 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1974:116599 CAPLUS
DN 80:116599
TI Derivatives of acrylic acids and aromatic amines as potential bactericides
AU Isamukhamedov, I.; Sultanov, M. B.
CS USSR
SO Farmakol. Alkaloidov Ikh Proizvod. (1972), 190-1. Editor(s): Sultanov, M.
B. Publisher: "Fan", Tashkent, USSR.
CODEN: 27NBAD
DT Conference
LA Russian
AB .beta.,.beta.-Dimethylacrylic acid [541-47-9], dimethylacrylic acid 3,4-dichloroanilide [21250-38-4], or .beta.-hydroxyethyl-N-diethylaminoacetanilide [51366-14-4] had bacteriostatic effects against Staphylococcus aureus and Bacterium coli commune. The bacteriostatic activity of 17 other derivs. of acrylates and aromatic amines was weak.
IT **20127-72-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(bactericidal activity of)
RN 20127-72-4 CAPLUS
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



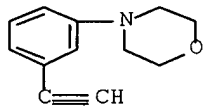
L18 ANSWER 210 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1974:36770 CAPLUS
DN 80:36770
TI New reactions of aromatic acetylenes
AU Terpugova, M. P.; Kotlyarevskii, I. L.; Amosov, Yu. I.
CS Inst. Khim. Kinet. Goren., Novosibirsk, USSR
SO Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1973), (10), 2397
CODEN: IASKA6; ISSN: 0002-3353
DT Journal
LA Russian
AB Reaction of p-BrC6H4C.tplbond.CH with piperidine or morpholine in the presence of NaNH2 in THF gave not only the expected product from replacement of Br by the amine residue but also rupturing the bond between the ring and ethynyl group led to diamines. Compds. isolated were m- and p-(N-piperidinyl)ethynylbenzene and m- and p-di(N-piperidinyl)benzene. Similarly formed were m- and p-(N-morpholinyl)ethynylbenzene and m- and p-di(N-morpholinyl)benzene.
IT **41876-71-5P 51100-94-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 41876-71-5 CAPLUS
CN Morpholine, 4-(3-ethynylphenyl)- (9CI) (CA INDEX NAME)



RN 51100-94-8 CAPLUS
CN Morpholine, 4,4'-(1,3-phenylene)bis- (9CI) (CA INDEX NAME)



L18 ANSWER 211 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1973:418247 CAPLUS
DN 79:18247
TI [(Dialkylamino)phenyl]acetylenes
AU Terpugova, M. P.; Kotlyarevskii, I. L.
CS USSR
SO Dokl. Vses. Konf. Khim. Atsetilena, 4th (1972), Volume 1, 379-85
From: Ref. Zh., Khim. 1973, Abstr. No. 3Zh198
DT Conference
LA Russian
AB p-BrC6H4COMe and PCl5 gave a mixt. of p-BrC6H4CCl2Me and p-BrC6H4CCl:CH2, which with 25% alc. KOH gave p-BrC6H4C.tplbond.CH. This with the appropriate amine and excess NaNH2 at 40-50.degree. gave a mixt. of m- and p-ZC6H4C.tplbond.CH (I) (Z and % yield given): piperidino, 66; Bu2N, 54; Et2N, 60; and morpholino, 60. The p-morpholino compd. was subjected to Mannich condensation with a series of secondary diamines, and to Khodkevich-Kadio reaction with 1-bromo-3-morpholino-1-propyne and 1-bromo-3-piperidino-1-propyne. Polymn. of I at 170-200.degree. and copolymn. of I with p-Et2C6H4 at 200.degree. were carried out.
IT **41876-71-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 41876-71-5 CAPLUS
CN Morpholine, 4-(3-ethynylphenyl)- (9CI) (CA INDEX NAME)



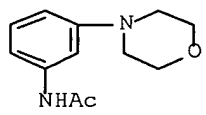
L18 ANSWER 212 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1973:406760 CAPLUS
DN 79:6760
TI Azo disperse dyes for polyester fibers
IN Arsac, Aime; Von Arx, Pierre; Tosan, Roland
PA Ugine Kuhlmann
SO Fr. Demande, 11 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | FR 2131794 | A5 | 19721117 | FR 1971-6657 | 19710226 |
| | FR 2131794 | B1 | 19740322 | | |
| PRAI | FR 1971-6657 | | 19710226 | | |

AB Azo dyes (I, R = 3-nitro-5-acetyl-2-thienyl, R1 = MeO; R = 2,4-dimethylpyrazol-3-yl, R1 = MeO; R = 6-(dimethylsulfamoyl)-2-benzothiazolyl, R1 = H) were prepd. and were used to dye polyester fiber fast shades. Thus, a mixt. of 2,5-MeO(AcNH)C6H3NH2, CaCO3, and (ClCH2CH2)2O was heated at 160.deg. for 3 hr, cooled, and treated with Ac2O to give N-(2-methoxy-5-acetamidophenyl)morpholine [40220-00-6] which was coupled with diazotized 2-amino-3-nitro-5-acetylthiophene to give azo dye I (R = 3-nitro-5-acetyl-2-thienyl, R1 = MeO) [40220-01-7], fast bluish green on polyester.

IT **41605-91-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction with diazotized amines)

RN 41605-91-8 CAPLUS
CN Acetamide, N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



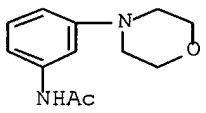
L18 ANSWER 213 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1973:137933 CAPLUS
DN 78:137933
TI Desperse azo dyes
IN Arsac, Aime; Von Arx, Pierre; Tosan, Roland
PA Ugine Kuhlmann
SO Fr. Demande, 12 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | FR 2126120 | A5 | 19721006 | FR 1971-6656 | 19710226 |
| | FR 2126120 | B1 | 19740322 | | |
| PRAI | FR 1971-6656 | | 19710226 | | |

AB Azo dyes (I, R = Cl, Br, Cn; R1 = H, NO2; R2 = Cl, AcNH, Me; R3 = H, MeO)
were prepd. and were used to dye polyester fibers fast red to bluish green
shades. Thus, 2,4-Cl(O2N)C6H3NH2 was diazotized and coupled with
N-(m-chlorophenyl)morpholine to give azo dye (I, R = Cl, R1 = R3 = H, R2 =
Cl) [39716-82-0], fast orange on polyester. The other I were similarly prepd.

IT **41605-91-8P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)

RN 41605-91-8 CAPLUS
CN Acetamide, N-[3-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



L18 ANSWER 214 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1973:91082 CAPLUS
DN 78:91082
TI Hectographic carbon paper
IN Evans, Ronald Arthur; Holt, Kenneth Anthony; Renfrew, Andrew H. M.
PA Imperial Chemical Industries Ltd.
SO Ger. Offen., 28 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

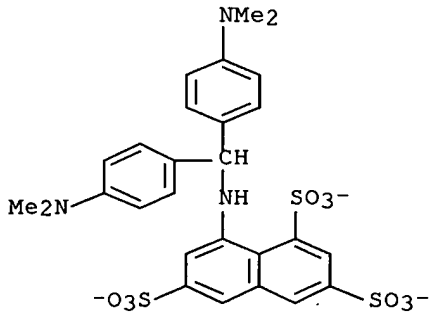
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2229032 | A | 19721221 | DE 1972-2229032 | 19720614 |
| | DE 2229032 | B2 | 19770811 | | |
| | ZA 7203843 | A | 19730530 | ZA 1972-3843 | 19720606 |
| | ZA 7203842 | A | 19730530 | ZA 1972-3842 | 19720606 |
| | FR 2142413 | A5 | 19730126 | FR 1972-21236 | 19720613 |
| | DD 100909 | C | 19731012 | DD 1972-163668 | 19720613 |
| | CS 156545 | P | 19740724 | CS 1972-4133 | 19720613 |
| | CH 577389 | A | 19760715 | CH 1972-8797 | 19720613 |
| | BE 784876 | A1 | 19721214 | BE 1972-118694 | 19720614 |
| | NL 7208106 | A | 19721218 | NL 1972-8106 | 19720614 |
| | NL 7208129 | A | 19721218 | NL 1972-8129 | 19720614 |
| | IT 960689 | A | 19731130 | IT 1972-25652 | 19720614 |
| | IT 972151 | A | 19740520 | IT 1972-25651 | 19720614 |
| | AT 318659 | B | 19741111 | AT 1972-5092 | 19720614 |
| | ES 403844 | A1 | 19750501 | ES 1972-403844 | 19720614 |
| PRAI | GB 1971-27765 | | 19710614 | | |

AB The 15-25 (8-50) g/m2 waxy coatings on a polyester film or fibrous web support with 6 g/m2 poly(vinyl chloride) or other barrier coating contain 40-60 (15-80) % of a leucoauramine dye combination. Writing pressure produces in contact with a matrix a reversed, almost invisible, latent image on the latter by leuco dye transfer. Copies are produced by contact with an alc.-moistened, acid-coated paper. Such a leuco dye combination ppts. from an aq. alc. soln. of 24.2 parts bis(4-dimethylaminophenyl)methane-.alpha.-anilino-m-trimethylammonium bromide and 22.4 parts Na p-sulfophenylleucoauramine during standing for several days. It is coated with a poly(vinyl alc.)-poly(vinyl chloride) copolymer and mineral oil on a polyester film support.

IT **41479-76-9**
RL: USES (Uses)
(image transfer sheets contg., for hectographic copying process)
RN 41479-76-9 CAPLUS
CN Morpholinium, 4-[3-[[bis[4-(dimethylamino)-2-methoxyphenyl]methyl]amino]phenyl]-4-methyl-, salt with 8-[[bis[4-(dimethylamino)phenyl]methyl]amino]-1,3,6-naphthalenetrisulfonic acid (3:1) (9CI) (CA INDEX NAME)

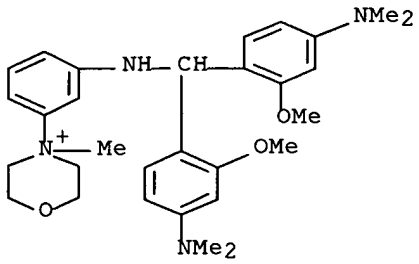
CM 1

CRN 48238-50-2
CMF C27 H26 N3 O9 S3




CM 2

CRN 48234-11-3
CMF C30 H41 N4 O3



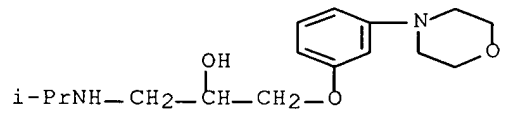
L18 ANSWER 215 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1972:540095 CAPLUS
DN 77:140095
TI 1-(Morpholinophenoxy)-3-(alkylamino)-2-propanols
IN Stuart, Ronald Stiles; Wasson, Burton Kendall
PA Frosst, Charles E., and Co.
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI US 3686176 | A | 19720822 | US 1970-17858 | 19700309 |
| PRAI US 1970-17858 | | 19700309 | | |

GI For diagram(s), see printed CA Issue.
AB The title pro-panols (I, 2-, 3-, 4-morpholino, R = H, Me, R1 = H, Ac, Bz, R2 = Me2CH, Me3C, Bu) and their acid salts, .beta.-adrenergic block-ing agents, were prepd. either by cleavage of an epoxide by amine or by amination of the halopropanol. Thus, 35.8 g 2-morpho-linophenol was heated with 55.88 g epichlorohydrin and piperi-dine to give the halopropanol, which was cyclized by NaOH to give 21.6 g 4-[o-(2,3-epoxypropoxy)phenyl morpholine (III). III (4.7 g) was treated with 6.2 ml Me2CHNH2 in MeOH to give I (2-morpholino, R = R2 = H, R3 = Me2CH).
IT **37033-90-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 37033-90-2 CAPLUS
CN 2-Propanol, 1-[(1-methylethyl)amino]-3-[3-(4-morpholinyl)phenoxy]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

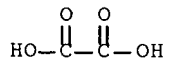
CM 1

CRN 47160-32-7
CMF C16 H26 N2 O3

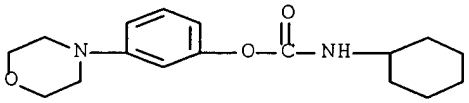



CM 2

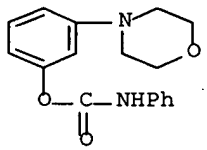
CRN 144-62-7
CMF C2 H2 O4



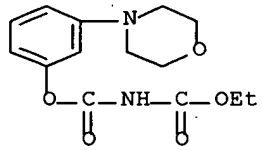
L18 ANSWER 216 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1972:461917 CAPLUS
DN 77:61917
TI Aminobenzenes. VIII. Rearrangement of phenyl carbamates. Syntheses of 2,4-dioxo-3,4-dihydro-2H-1,3-benzoxazines and salicylamides
AU Effenberger, Franz; Niess, Rolf; Schick, Max
CS Inst. Org. Chem., Univ. Stuttgart, Stuttgart, Fed. Rep. Ger.
SO Chemische Berichte (1972), 105(6), 1926-42
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB Thermal rearrangement of N-aryl-substituted m-RC6H4O2CNHR1 (I, R = pyrrolidinyl, piperidino, or Me2N; R1 = Ph, Bz, or p-ClC6H4CO) obtained from m-RC6H4OH and R1NCO gave 4,2-R(HO)C6H3-CONHR1 (II), whereas N-alkoxy-substituted I gave 2,4-dioxo-3,4-dihydro-2H-1,3-benzoxazines (III). III were cleaved by dil. KOH with CO2 evolution to give II (R1 = H). The mechanism of this Fries rearrangement-like reaction involving an intramol. path is discussed.
IT **37895-02-6P 37895-05-9P 37895-11-7P 37895-19-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 37895-02-6 CAPLUS
CN Carbamic acid, cyclohexyl-, 3-(4-morpholinyl)phenyl ester (9CI) (CA INDEX NAME)



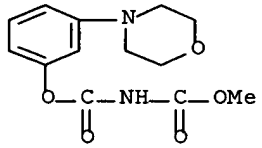
RN 37895-05-9 CAPLUS
CN Phenol, 3-(4-morpholinyl)-, phenylcarbamate (ester) (9CI) (CA INDEX NAME)



RN 37895-11-7 CAPLUS
CN Imidodicarbonic acid, ethyl 3-(4-morpholinyl)phenyl ester (9CI) (CA INDEX NAME)



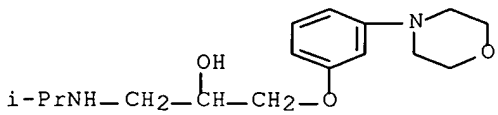
RN 37895-19-5 CAPLUS
CN Imidodicarbonic acid, methyl 3-(4-morpholinyl)phenyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 217 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1972:456410 CAPLUS
DN 77:56410
TI .beta.-Adrenergic blocking agents. 3-(3-Substituted-amino-2-hydroxypropoxy)-4-substituted-1,2,5-thiadiazoles
AU Wasson, B. K.; Gibson, W. K.; Stuart, R. S.; Williams, H. W. R.; Yates, C. H.
CS Merck, Frosst Lab., Montreal, QC, Can.
SO Journal of Medicinal Chemistry (1972), 15(6), 651-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB A no. of 3-(3-substitute-amino-2-hydroxypropoxy)-4-substituted-1,2,5-thiadiazoles were prepd. by 3 general procedures: (a) by condensation of the appropriate 3-hydroxy-4-substituted-1,2,5-thiadiazole with epichlorohydrin, then formation of the epoxide, and condensation with an amine, (b) by treatment of 4-chloro-3-(3-substituted-amino-2-hydroxypropoxy)-1,2,5-thiadiazole with a heterocyclic compd. contg. a secondary N, or (c) by formation of a bromohydrin of a 3-substituted-4-allyloxy-1,2,5-thiadiazole, followed by treatment with an amine. A no. of I contg. 4-Cl, 4-Et, or 4-EtO such as (+)-3-(3-tert-butylamino-2-hydroxypropoxy)-4-chloro-1,2,5-thiadiazole-HCl [(+)-I, R = Cl, R1 = H, R2 = tert-Bu] [26791-14-0], 3-(3-isopropylamino-2-hydroxypropoxy)-4-ethyl-1,2,5-thiadiazole-HCl (I, R = Et, R1 = H, R2 = iso-Pr) [26670-38-2] and 3-(3-isopropylamino-2-hydroxypropoxy)-4-ethoxy-1,2,5-thiadiazole-HCl (I, R = EtO, R1 = H, R2 = iso-Pr) [26852-60-8] were potent .beta.-adrenergic blocking agents but short acting (ED50 0.023, 0.04 and 0.035 mg/kg i.v., resp., dose required to inhibit by 50% the cardioaccelerator response to 0.12 mg/kg i.v. isoproterenol in the ganglion-blocked anesthetized rat). A no. of 1,2,5-thiadiazoles possessing a bulky group in the 4-position were long acting, the outstanding member being (+-)-3-(3-tert-butylamino-2-hydroxypropoxy)-4-morpholino-1,2,5-thiadiazole-HCl [(+-)-II -HCl] [35507-66-5] with an ED50 of 0.013. Resolution of (+-)-II showed the bulk of activity resided in the (+)-form, (+)-3-(3-tert-butylamino-2-hydroxypropoxy)-4-morpholino-1,2,5-thiadiazole hydrogen maleate [(+)-II H maleate] [26839-77-0] the ED50 being 0.0066. The optimal activity in the thiadiazoles was achieved by the presence of an iso-Pr or tert-Bu group in the aminoisopropanoloxy side chain and inclusion of a bulky substituent in the 4 position.
IT **37033-90-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 37033-90-2 CAPLUS
CN 2-Propanol, 1-[(1-methylethyl)amino]-3-[3-(4-morpholinyl)phenoxy]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

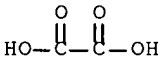
CM 1

CRN 47160-32-7
CMF C16 H26 N2 O3

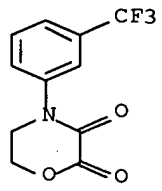


CM 2

CRN 144-62-7
CMF C2 H2 O4



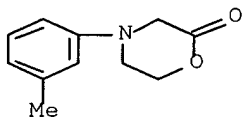
L18 ANSWER 218 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1972:46126 CAPLUS
DN 76:46126
TI Synthesis and some transformations of N-.beta.-hydroxyethyl-m-trifluoromethylaniline
AU Tulyaganov, S. R.; Seitkasymov, Zh.
CS Inst. Khim. Rast. Veshch., Tashkent, USSR
SO Zhurnal Organicheskoi Khimii (1971), 7(11), 2342-4
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
AB RNH2 (R=m-CF3C6H4) reacted with ClCH2CH2OH to give the corresponding RNHCH2CH2OH (I) and RN(CH2CH2OH)2; I gave RNR1CH2CH2OR1 (R1=HCO Ac, EtCO, PrCO) in .gtoreq.83.7% yield with R1OH. Cyclization of I with HCHO, MeCHO, n-C7H15CHO, PhCHO, p-MeOC6H4CHO afforded the corresponding 2-substituted-3-(m-trifluoromethylphenyl)oxazolidines in 71.8-86.9% yield.
IT **34889-58-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 34889-58-2 CAPLUS
CN 2,3-Morpholinedione, 4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



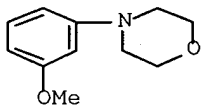
L18 ANSWER 219 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:437908 CAPLUS
DN 75:37908
TI Azo dye
IN Kubba, Parkash; Jenny, Walter
PA Ciba-Geigy A.-G.
SO Ger. Offen., 54 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | DE 2049231 | A | 19710429 | DE 1970-2049231 | 19701007 |
| | CH 543568 | A | 19731214 | CH 1969-15522 | 19691016 |
| | CH 560672 | A | 19750415 | CH 1969-15523 | 19691016 |
| | FR 2070699 | A5 | 19710917 | FR 1970-34075 | 19700921 |
| | NL 7015159 | A | 19710420 | NL 1970-15159 | 19701015 |
| | ES 384545 | A1 | 19730901 | ES 1970-384545 | 19701015 |
| | JP 48012406 | B4 | 19730420 | JP 1970-90861 | 19701016 |
| | GB 1328258 | A | 19730830 | GB 1970-49247 | 19701016 |
| | GB 1328259 | A | 19730830 | GB 1971-48980 | 19701016 |
| PRAI | CH 1969-15522 | | 19691016 | | |
| | CH 1969-15523 | | 19691016 | | |
| | CH 1970-12286 | | 19700817 | | |

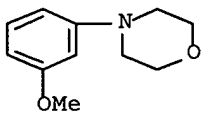
GI For diagram(s), see printed CA Issue.
AB H2O-insol. 2-chloro-4'-[N-(2 - hydroxyethyl) -N - (N - methylcarbamoylmethyl)amino]-2'-methyl-4-nitroazobenzene (I) was prepd. by coupling diazotized 2-chloro-4-nitroaniline with m-MeC6H4N(CH2CONHMe)CH2CH2OH and gave a fast red shade on polyesters.
IT **20127-72-4P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(prepn. of)
RN 20127-72-4 CAPLUS
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 220 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:141175 CAPLUS
DN 74:141175
TI Syntheses of heterocyclic compounds. CCCLXXXVII. Benzyne reaction. X. Benzyne reaction of ortho substituted halobenzenes with cyclohexanone in secondary cyclic amines or in organic solvents
AU Kametani, Tetsuji; Noguchi, Shunsaku; Agata, Isao; Aono, Tetsuya; Kigasawa, Kazuo; Hiiragi, Mineharu; Hayasaka, Tetsutaro; Kusama, Osamu
CS Pharm. Inst., Tohoku Univ., Sendai, Japan
SO Journal of the Chemical Society [Section] C: Organic (1971), (6), 1047-50
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB The reaction of cyclohexanone with 1-methoxy-1,3-cyclohexadien-5-yne (I) in pyrrolidine, piperidine, morpholine, dioxane, and THF gave 4-52% 2-(3-methoxyphenyl)cyclohexanone (II). Reaction of the cyclohexanone enamines (III, R = Et2N, piperidino, morpholino, MeNPh) with I in THF gave 20-50% II and 9-49% corresponding hexahydrobiphenylenes (IV).
IT **32040-09-8P 32040-10-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 32040-09-8 CAPLUS
CN Morpholine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

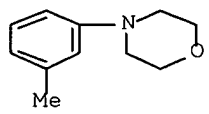



RN 32040-10-1 CAPLUS
CN Morpholine, 4-(m-methoxyphenyl)-, hydrochloride (8CI) (CA INDEX NAME)

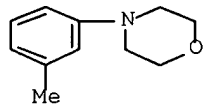



● HCl

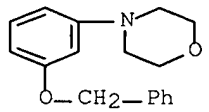
L18 ANSWER 221 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:125012 CAPLUS
DN 74:125012
TI Reduction by dissolving metals. XVI. Reactions of aromatic amines with metal-ammonia solutions
AU Birch, Arthur J.; Hutchinson, E. G.; Rao, G. S. R. Subba
CS Res. Sch. Chem., Aust. Natl. Univ., Canberra, Australia
SO Journal of the Chemical Society [Section] C: Organic (1971), (4), 637-42
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB N,N-Dimethylanilines and N-arylmorpholines were reduced in NH3(l) by Li and EtMe2COH to give conjugated cyclohexadienylamines, except that redn. of ortho-substituted amines (e.g., o-anisidine) gave stable unconjugated cyclohexadienylamines (e.g., I). In some other cases unconjugated dienylamines were isolated, but they underwent ready thermal conjugation at >30.degree..
IT **7025-91-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dissolving metal redn. of)
RN 7025-91-4 CAPLUS
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



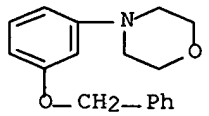
L18 ANSWER 222 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1971:53245 CAPLUS
DN 74:53245
TI Benzyne reaction. IX. Benzyne reaction of o-halobenzenes with acetonitrile or phenylacetonitrile in organic solvents
AU Kametani, Tetsuji; Kigasawa, Kazuo; Hiiragi, Mineharu; Aoyama, T.; Kusama, Osamu
CS Pharm. Inst., Tohoku Univ., Sendai, Japan
SO Journal of Organic Chemistry (1971), 36(2), 327-330
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
AB Benzyne reaction of a no. of ortho-substituted halobenzenes with MeCN or PhCH2CN was carried out, in various org. solvents together with the appropriate amines in the presence of NaNH2, to give the desired meta-substituted phenylacetonitriles together with meta-substituted amino compds. When o-chloro- and o-methylhalobenzene were used in this reaction, a mixt. of the corresponding 1,3- and 1,2-disubstituted benzenes was obtained.
IT **7025-91-4P 26926-56-7P 26926-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 7025-91-4 CAPLUS
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)




RN 26926-56-7 CAPLUS
CN Morpholine, 4-[m-(benzyloxy)phenyl]- (8CI) (CA INDEX NAME)

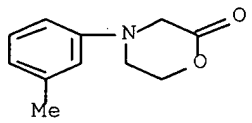



RN 26926-57-8 CAPLUS
CN Morpholine, 4-[m-(benzyloxy)phenyl]-, hydrochloride (8CI) (CA INDEX NAME)

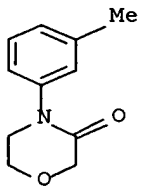



● HCl

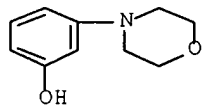
L18 ANSWER 223 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1970:466523 CAPLUS
DN 73:66523
TI Reaction of some N-(2-hydroxyalkyl)arylamines with monochloroacetic acid derivatives
AU Tulyaganov, S. R.; Seitkasymov, Zh.
CS Inst. Khim. Rast. Veshchestv, Tashkent, USSR
SO Zhurnal Organicheskoi Khimii (1970), 6(6), 1305-8
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB The reaction of ClCH2COCl with RC6H4NHCH2CH(OR)R1 gave RC6H4N(COCH2Cl)CH2CH(OH)R1 (I). The condensation of I with ClCH2CO2Et (II) in C6H6 soln. contg. NaOH gave 4-RC6H4-substituted-2-morpholinones. The condensation of RC6H4N(COCH2Cl)CH2CH(ONa)R1 with II gave substituted 3-morpholinones (III). III were also prepd. by the cyclization of N-(2-hydroxyalkyl)chloroacetanilides.
IT **20127-72-4P 29518-13-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20127-72-4 CAPLUS
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



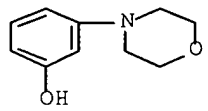

RN 29518-13-6 CAPLUS
CN 3-Morpholinone, 4-m-tolyl- (8CI) (CA INDEX NAME)



L18 ANSWER 224 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1970:403599 CAPLUS
DN 73:3599
TI Aminobenzenes. VII. N-Persubstituted 3-aminophenols and 1,3-diaminobenzenes
AU Effenberger, Franz; Prossel, Guenter; Auer, Eberhard; Fischer, Peter
CS Inst. Org. Chem., Univ. Stuttgart, Stuttgart, Fed. Rep. Ger.
SO Chemische Berichte (1970), 103(5), 1456-62
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
AB Reaction of resorcinol with RR1NH at 200-300.degree., gave 18-40% m-HOC6H4NRR1 (I) [where RR1 = Me or Et, or (NRR1 =) piperidino or morpholino]. I (NRR1 - pyrrolidino) was obtained from pyrrolidine at 100.degree. in 80% yield. 1,3-(RR1N)2C6H4 (II) [where R = R1 = iso-Pr or (NRR1 =) pyrrolidino or piperidino] were obtained from 0-Cl2C6H4, LiPh, and RR1NH in 29-63% yield via the chlorobenzyne intermediate. Reaction of resorcinol with pyrrolidine at 200.degree. or with piperidine at 280.degree. gave 85% II (NRR1-pyrrolidino) or 0.6% II (NRR1 = piperidino), resp.
IT **27292-49-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 27292-49-5 CAPLUS
CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



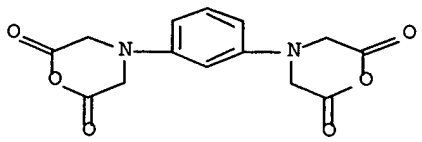
L18 ANSWER 225 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1970:403589 CAPLUS
DN 73:3589
TI Synthetic schistosomicides. XVI. 5-(Mono- and dialkylamino)-2-nitrosophenols, 2-amino-5-(dialkylamino)phenols, and related compounds
AU Elslager, Edward F.; Worth, Donald F.
CS Div. of Med. and Sci. Affairs, Parke Davis and Co., Ann Arbor, MI, USA
SO Journal of Medicinal Chemistry (1970), 13(3), 370-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
AB Various 5-(mono- and dialkylamino)-2-nitrosophenols were prepd. by nitrosation of the corresponding m-(mono- and dialkylamino)phenols, obtained by heating resorcinol with an excess of the appropriate amine at 200.degree., or by alkylation of m-aminophenol with an alkyl halide. 5-(Dimethylamino)-2-nitrosophenol, 5-(diethylamino)-2-nitrosophenol (I), 2-nitroso-5-(1-pyrrolidinyl)phenol, and 2-amino-5-(diethylamino)phenol, a potential metabolite of I, displayed strong schistosomicidal activity and effected a 70-100% redn. of adult Schistosoma mansoni in mice at daily doses of 177-568 mg/kg for 14 days. Structure-activity relationship are summarized, and information concerning potential metabolites and the possible mode of action of the nitrosophenols is discussed.
IT **27292-49-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 27292-49-5 CAPLUS
CN Phenol, 3-(4-morpholinyl)- (9CI) (CA INDEX NAME)



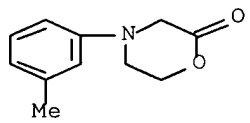
L18 ANSWER 226 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1969:481380 CAPLUS
DN 71:81380
TI Diaminetetraacetic bisanhydrides
PA Geigy, J. R., A.-G.
SO Fr., 10 pp.
CODEN: FRXXAK
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | FR 1548888 | | 19681028 | | |
| | CH 474533 | | | CH | |
| | DE 1695050 | | | DE | |
| | GB 1161461 | | | GB | |
| | US 3660388 | | 19720000 | US | |
| PRAI | CH | | 19661214 | | |

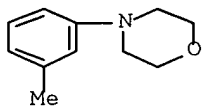
GI For diagram(s), see printed CA Issue.
AB The title compds. (I), in which X is alkylene or arylene, are prep. by heating the corresponding diaminetetraacetic acid with a monocarboxylic anhydride and a tertiary amine <150.degree.. For example, 364 g. ethylenediaminetetraacetic acid, 510 g. Ac2O, and 600 g. C5H5N, heated 24 hrs. at 65.degree., cooled and filtered, gave 96% 1,2-bis(2,6-dioxo-4-morpholinyl)ethane (I, X = CH2CH2) (Ia), m. 195.degree.. Ia was also prepd. in yields of 88-96% using (EtCO)2O or (PhCO)2O in place of Ac2O, or N-methylmorpholine or triethylenediamine in place of the C5H5N. Also prepd. were the following I (X, m.p., and % yield given): CH2CH2N(CH2CO2H)CH2CH2, 172.degree., 95.2; p-C6H4, 300.degree., 72.5; m-C6H4, 300.degree., 93.5; (CH2)3, 77-80.degree., 87.5; CHMeCH2, 130.degree. (MeCN), -; (CH2)6, 103-4.degree., 83.2; (CH2)12, -, 76.9; CH2CH2OCH2CH2, 90.degree., 94.5; CH2CH2OCH2CH2OCH2CH2, - (n40D 1.5144), 97.4; CH2CH(CH2CH2)2CHCH2 [CH(CH2CH2)2CH = cyclohexylidene], 189.degree. (MeCN), 91.8; CH(CH2CH2)2CHCH2CH(CH2CH2)2CH, 127.degree., 94.5; (CH2)3N(CH2CH2)2N(CH2)3, -, and 86.5; and p-C6H4C6H4-p, 300.degree., and 83.5. I may be used in place of pyromellitic dianhydride in reactions with epoxides to form epoxy resins. For example, 10 g. 2,2,-bis(p-(2,3-epoxy-propyloxyphenyl)propane and 0.2 ml. Bu3N were heated to 140.degree. and 0.5 g. Ia was added during 30 min. After heating 6 hrs. at 140.degree., 9 hrs. at 150.degree., 4 hrs. at 180.degree., and 7 hrs. at 210.degree., there was obtained a transparent resin, m. 100.degree.. Similar resins were obtained from I and 1-epoxyethyl-3,4-epoxycyclohexane, dipentene dioxide, 3,4-epoxyhexahydrobenzal-3',4'-epoxy-1',1'-bis-(hydroxymethyl)cyclohexane, 3,4-epoxy-6-methylcyclohexyl adipate, and p-(2,3-epoxypropyloxy)toluene.
IT **23910-52-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23910-52-3 CAPLUS
CN 2,6-Morpholinedione, 4,4'-m-phenylenedi- (8CI) (CA INDEX NAME)



L18 ANSWER 227 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1968:506141 CAPLUS
DN 69:106141
TI N-Aryl-N-(2-hydroxyethyl)glycines and their lactones
AU Lukosaitiene, K.; Degutis, J.
CS Kaunas. Politekh. Inst., Kaunas, USSR
SO Zhurnal Organicheskoi Khimii (1968), 4(7), 1223-5
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB The reaction of PhNHCH2CO2Et or m-MeC6H6NHCH2CO2Et with ethylene oxide at
room temp. in the presence of AcOH as catalyst gave ArN(CH2CH2OH)CH2CO2Et
(not sepd.), which on distn. in vacuo gave the corresponding lactones (I).
I (R = Ph) m. 75-6.degree., b2 148-9.degree.; I (R = m-MeC6H4) m, 62-3.degree., b2158-9.degree.. Both the lactones the esters are hydrolyzed nearly quant. to PhN(CH2CH2OH)CH2CO2H, m. 99-100; or m-MeC6H4N(CH2CH2OH)CH2CO2H, m. 111-12.degree..
IT **20127-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20127-72-4 CAPLUS
CN 2-Morpholinone, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 228 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1966:456551 CAPLUS
DN 65:56551
OREF 65:10517f-g
TI Selectivity of the reaction of catalytic dehydration of certain N-alkyl-N-aryl-2-aminoethanols
AU Verdier, Alain; Lattes, Armand
CS Inst. Natl. Sci. Appl., Toulouse
SO Bulletin de la Societe Chimique de France (1966), (3), 1043-9
CODEN: BSCFAS; ISSN: 0037-8968
DT Journal
LA French
AB Dehydration of the titled compds. yields different products depending on the catalyst. MgO and K2CO3 do not dehydrate N-methyl-N-phenyl-2-aminoethanol (I). Alumina leads to 68% N,N'-dimethyl-N,N'-diphenyl-2,2'diaminodiethyl ether, m. 47.degree.; very little N, N'-dimethyl-N,N'diphenylethylenediamine (II) is obtained. The yield of II can be increased up to 70% by heating I on alumina in the presence of N-methylaniline. Sulfuric acid (1 wt.-%) leads to a similar reaction starting at 220.degree.. A radically different dehydration takes place with p-toluenesulfonic acid (5 wt.-%) as catalyst. Thus, I yields 45% PhNMe2, 42% N-phenylmorpholine, and 22.5% N,N'-diphenylpiperazine. Iodine as catalyst leads to the same products with different yields: 18%, <1%, and 7.5%, resp.
IT **7025-91-4**, Morpholine, 4-m-tolyl-
(formation in dehydration of 2-(N-ethyl-m-toluidino)ethanol)
RN 7025-91-4 CAPLUS
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 229 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1965:29508 CAPLUS
DN 62:29508
OREF 62:5218h,5219a-h,5220a-d
TI Some 2-fluoroethylamines derived from hydrocinnamic acid, phenylpyruvic acid, and DL-phenylalanine
AU Martinez, A. P.; Lee, W. W.; Goodman, L.
CS Stanford Res. Inst., Menlo Park, CA
SO Tetrahedron (1964), 20(12), 2763-71
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
AB To a stirred soln. of 12.0 g. N-benzyloxycarbonylaniline in 110 ml. freshly distd. HCONMe2 (DMF) was added 3.20 g. 54% NaH suspension in mineral oil, the suspension having been previously washed with petr. ether to remove most of the oil. After the reaction mixt. was stirred at room temp. 15 min. protected from moisture, a soln. of 13.1 g. 2-fluoroethyl p-toluenesulfonate in 20 ml. DMF was added and the mixt. stirred 4 hrs. or longer until the ir spectrum of a sample indicated reaction was complete. The mixt. was evapd. to dryness in vacuo, the residue worked up and partitioned between 200 ml. each H2O and CH2Cl2, and the org. layer sepd., washed with H2O, dried, and evapd. in vacuo to yield 15.0 g. N-benzyloxycarbonyl-N-(2-fluoroethyl)aniline (I), a yellow oil. A cooled soln. of 60 ml. 30-2% HBr in glacial AcOH was added rapidly to 12.1 g. I with stirring and cooling to -5.degree., the soln. stirred 10 min., the cooling bath removed, stirring continued 60 min., the mixt. poured into a well-stirred mixt. of 100 g. Na2CO3, 300 ml. satd. aq. NaHCO3 soln., and 200 ml. Et2O, and the Et2O layer sepd., washed with 100 ml. H2O, dried, and satd. with anhyd. HCl to give 7.59 g. N-(2-fluoroethyl)aniline-HCl (II.HCl), m. 164.5-5.5.degree. (abs. EtOH). I may also be converted to II.HCl by hydrogenolysis over 5% Pd-C in Me Cellosolve contg. HCl at 1 atm. 20 hrs. A soln. of 4.68 g. II, regenerated from the HCl salt, and 1.58 g. ethylene oxide in 30 ml. glacial AcOH was stirred at room temp. overnight in a stoppered flask, the soln. evapd. in vacuo, and the residue worked up to yield 5.20 g. N-(2-fluoroethyl)-N-(2-hydroxyethyl)aniline (III), a light amber oil. To a stirred mixt. of 35.0 g. anhyd., finely divided KF in 150 g. 1:1 ethylene carbonate-1-methyl-2-pyrrolidone heated at 150.degree. (bath temp.) was added in 1 portion 68.5 g. N,N-bis(2-p-tolylsulfonyloxyethyl)aniline, the mixt. heated and stirred at 150.degree. 30 min. under N, cooled, poured into 1.6 l. H2O, and extd. with petr. ether, b. 65-110.degree., and the org. exts. were washed with H2O, dried, and evapd. at 60.degree./15 mm. to yield 21.0 g. N,N-bis(2-fluoroethyl)aniline (IV), b0.03 64-70.degree. n23D 1.5328. A sample purified by preparative gas chromatography gave n23D 1.5274. An Et2O soln. of IV was satd. with anhyd. HCl to yield IV.HCl, m. 80.5-1.0.degree. (C6H6 contg. a trace of EtOH). By the method of Wiley and Irick, 20 ml. POCl3, 60 ml. freshly distd. DMF, and 10.3 g. III gave,

after 20 min. at 125.degree., 12.55 g. p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]benzaldehyde (V). A soln. of 0.39 g. V and 0.29 g. p-BrC6H4NH2 in 20 ml. abs. EtOH was refluxed 45 min., cooled, and filtered to yield 0.42 g. N-[p-[N'-(2-chloroethyl)-N'-(2-fluoroethyl)amino] benzylidene]-p-bromoaniline (VI), m. 127.5-28.degree. (abs. EtOH). By the procedure used to prep. V, IV was converted to p-[bis(2-fluoroethyl)amino]-benzaldehyde (VII), m. 45.5-6.5.degree. (EtOH-H2O). Reaction of VII with p-anisidine gave 73% N-[p-[bis(2-fluoroethyl)amino]-benzylidene]-p-methoxyaniline (VIII), m. 73.5-4.0.degree. (EtOH). V was converted by the conventional method to the azlactone 4-[p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino] benzylidene]-2-phenyl-2-oxazolin-5-one (IX), solvated, m. 60-80.degree.. Similarly, VII yielded 4-[p-[bis(2-fluoroethyl)amino]benzylidene]-2-phenyl-2-oxazolin-5-one (X), m. 138-40.degree. (C6H6). A soln. of 4.00 g. IX in 200 ml. abs. MeOH was satd. with anhyd. HCl at 0.degree., then heated at reflux 18 hrs. with protection from moisture. The soln. was evapd. to dryness in vacuo to remove all Me benzoate, the residue dissolved in 50 ml. concd. HCl, the soln. heated at 65.degree. 25 min., quickly chilled in an Me2CO-dry ice bath, and neutralized with a cold soln. of 80 g. AcONa.3H2O in 200 ml. H2O, the cold mixt. extd. with Et2O, and the exts. combined, dried, concd. in vacuo to about 100 ml., and worked up to yield 1.25 g. p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]phenylpyruvic acid (XI), m. 147.5-8.0.degree.. Similarly, 1.78 g. X gave 0.21 g. p-[N,N-bis(2-fluoroethyl)amino]phenylpyruvic acid (XII), m. 156-7.degree. (CH2Cl2-PhMe). By a known procedure, a cold soln. of 34.0 g. IX in 170 ml. AcOH and 260 ml. 12N HCl was treated with 87 g. Zn at below 9.degree. to afford 21.9 g. N-benzoyl-3-[p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino] phenyl]-DL-alanine (XIII), m. 159.5-60.5.degree. (1:1 (MeOCH2)2-H2O). Similarly, 11.4 g. X was treated with Zn and acid at 10-15.degree. 1.5 hrs. to yield 9.3 g. N-benzoyl-3-[p-[bis(2-fluoroethyl)amino]phenyl]-DL-alanine (XIV), m. 178-9.degree.. A soln. of 1.00 g. XIV in 25 ml. 12N HCl was heated 5 hrs. at 115-18.degree. (bath temp.), cooled in an ice bath, and extd. with two 60-ml. portions Et2O to remove BzOH. The aq. soln. was evapd. at 55.degree./0.1 mm. to yield 0.80 g. p-[bis(2-fluoroethyl)amino]phenyl-DL-alanine-HCl (XV.HCl), which was dissolved in 15 ml. H2O and neutralized to pH 6 with AcONa.3H2O. The soln. cooled overnight at 5.degree. and the ppt. triturated with Me2CO at room temp. gave XV.0.5H2O, m. 176-7.degree.. Similar treatment of XIII with hot acid yielded p-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]phenylalanine (XVI), m. 180-1.degree. (abs. EtOH). To a stirred soln. of 17.3 g. Me m-aminohydrocinnamate in 60 ml. pyridine and 160 ml. CH2Cl2 at room temp. was added 16.0 g. benzyloxycarbonyl chloride in 80 ml. CH2Cl2, the reaction mixt. kept overnight at room temp., then

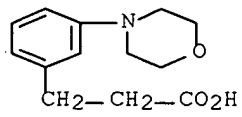
washed successively with H2O, 20% HCl, H2O satd. NaHCO3 soln., and H2O, and the org. layer dried and evapd. in vacuo to yield 23.7 g. Me m-(benzyloxycarbonylamino)hydrocinnamate (XVII), m. 52.5-3.0.degree. (Et2O-Skellysolve B). By the same procedure used to prep. I, the amide XVII afforded a quant. yield of Me m-[N-benzyloxycarbonyl-N-(2-fluoroethyl)amino]hydrocinnamate (XVIII), as an oil. The procedure used to convert I to II was applied to 3.53 g. XVIII to give 1.90 g. crude Me m-(2-fluoroethylamino)hydrocinnamate-HCl (XIX.HCl), which, after regeneration of the base and repptn. of the HCl salt from C6H6, gave 1.15 g. XIX.HCl, m. 104-5.degree.. A soln. of 5.00 g. XIX in 26 ml. glacial AcOH was treated with an equimolar amt. of ethylene oxide to give 5.42 g. Me m-[N-(2-fluoroethyl)-N-(2-hydroxyethyl)amino]hydrocinnamate (XX). XX (1.70 g.) in 15 ml. POCl3 was heated on a steam bath 30 min. with protection from moisture, poured over 150 ml. ice and H2O, stirred overnight, washed with CH2Cl2, neutralized with solid AcONa.3H2O to pH 5, and extd. with CH2Cl2, and the exts. were combined, dried, and evapd. in vacuo to give 1.20 g. m-[N-(2-chloroethyl)-N-(2-fluoroethyl)amino]hydrocinnamic acid (XXI), m. 76.0-6.5.degree. (Skellysolve B-CH2Cl2 contg. Norit). To an ice-cooled, stirred soln. of 3.62 g. Me m-[bis(2-hydroxyethyl)amino]hydrocinnamate in 50 ml. pyridine was added 5.10 g. p-toluenesulfonyl chloride, the mixt. kept in an ice bath 5 hrs. and in a refrigerator overnight, dild. with 100 ml. CH2Cl2, washed with four portions H2O, the last wash being gradually acidified with aq. HCl to pH 5, washed with 50 ml. satd. NaHCO3 soln., then with 50 ml. H2O, and the org. phase dried and evapd. in vacuo at 30.degree. to give 4.82 g. Me m-[bis(2-tosyloxyethyl)amino]hydrocinnamate (XXII), a viscous gum. A stirred suspension of 5.0 g. dry KF in 8.0 ml. diethylene glycol and 50 ml. C6H6 was protected from moisture and distd. to remove about 40 ml. C6H6, a soln. contg. 2.00 g. XXII added, the bath temp. raised until all the C6H6 had distd., the mixt. maintained at 160-70.degree. 2 hrs., the reaction mixt. partitioned between 50 ml. CH2Cl2 and 150 ml. H2O, and the org. layer washed with 150 ml. H2O, dried, and evapd. in vacuo to obtain 1.22g. oil. A soln. of 1.10 g. of the oil in 4 ml. N methanolic NaOH and 0.20 ml. H2O was stirred at room temp. 18 hrs. and evapd. in vacuo, the residue taken up in 25 ml. H2O, washed with 25-ml. portions of CH2Cl2 and Et2O, acidified with 4 ml. N HCl, and extd. with 25 ml. CH2Cl2, the org. ext. dried and evapd. in vacuo, the resulting oil extd. with 50-ml. portions Skellysolve B at reflux, and the exts. were cooled to room temp., filtered through Celite, and cooled in an ice bath to yield 0.20 g. m-[bis(2-fluoroethyl)amino]hydrocinnamic acid (XXIII), m. 76-7.degree.. A mixt. of 4.34 g. XXII and 2.20 g. KF in 20 ml. dry DMF was stirred and heated at reflux 22 hrs., worked up, and hydrolyzed as for XXIII to obtain 0.58 g. m-morpholinohydrocinnamic acid, m. 137.0-7.5.degree. (abs. EtOH). Products and intermediates were identified

by elemental analysis, ir or uv spectra, and paper chromatography. These compds. were prepd. for comparison with the corresponding Cl-contg. N mustards in cancer chemotherapy. Cf. W. and I., CA 55, 16455a.

IT **1144-94-1**, Hydrocinnamic acid, m-morpholino-
(prepn. of)

RN 1144-94-1 CAPLUS

CN Hydrocinnamic acid, m-morpholino- (7CI, 8CI) (CA INDEX NAME)



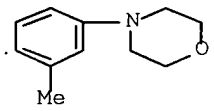
L18 ANSWER 230 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1958:30302 CAPLUS
DN 52:30302
OREF 52:5485g-h
TI Morpholines
IN Weiss, E.
PA Badische Anilin- & Soda-Fabrik Akt.-Ges.
DT Patent
LA Unavailable
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI DE 844006 | | 19520714 | DE | |

AB Morpholines are made by heating a diethanolamine in the gaseous phase with dehydrating catalysts such as Al oxide activated with Th oxide to 280-350.degree.. Thus, a tube filled with 600 cc. Al oxide catalyst contg. 5% Th oxide is charged with 100 g./hr. diethanolaniline, obtained from an evaporator, together with 50 l. N at 300-10.degree.. The crude product is sepd. from H2O and distd., yielding 50-65 g./hr. N-phenylmorpholine, b760 260-5.degree., b1, 105-10.degree.. Similarly prepd. are: N-m-tolylmorpholine, b760 265-70.degree., b1 110-15; N-(m-chlorophenyl)morpholine, b1 123-5.degree.; N-cyclohexylmorpholine, b20 130-5.degree.; N-butylmorpholine, b20 77-81.degree..

IT **7025-91-4**, Morpholine, 4-m-tolyl-
(prepn. of)

RN 7025-91-4 CAPLUS
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



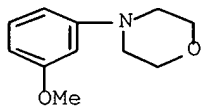
L18 ANSWER 231 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1954:56526 CAPLUS
DN 48:56526
OREF 48:9942a-h
TI Rearrangements in amination by alkali amides in liquid ammonia and by lithium dialkylamides in ether
AU Gilman, Henry; Kyle, Robert H.
CS Iowa State Coll., Ames
SO Journal of the American Chemical Society (1952), 74, 3027-9
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA Unavailable
AB The reaction of .omicron.-haloanisoles and metal amides was shown by a typical procedure. The .omicron.-haloanisole (0.5 mole) was added to 1 mole NaNH2 in liquid NH3 over 0.5 hr. period, the mixt. stirred an addnl. 20 min., and 1 mole NH4Cl added during 10-15 min. (over-all time 1 hr.), 250 cc. of C6H6 added, the mixt. warmed to expel NH3, and the solids filtered and extd. with C6H6. HCl bubbled into the combined C6H6 solns. pptd. the HCl salt of m-anisidine (I) from which the free I was liberated, b0.15 75-7.degree., n20D 1.5809. The following results were obtained [metal, halogen, molar ratio amide-RX, % yield (gross) of I, % recovery of RX given]: Na, Cl, 2:1, 46.5, -; Na, Cl, 2:1, 42.5, -; Na, Cl, 4:1, 51.0, -; Na, Cl, 4:1, 55.1, 3.7; Na, Cl, 4:1, 51.5, -; Na, Cl, 4:1, 35.9, 31.1; Na, Cl, 4:1 (reaction time 2.5 hrs.), 25.7, -; Na, Cl, 8:1, 42.9, -; Li, Cl, 2:1, 0.0, 65.2; Li, Cl, 2:1, 0.0, 90.3; Li, Br, 2:1 (1.3 hrs.), 5.4, 75.7; K, Cl, 2:1, 44.4, 25.4; K, Cl, 2:1 (0.75 hr.), 33.3, 26.6; K, Cl, 4:1 (0.33 hr.), 35.6, 28.0. The following general procedure was used in LiNEt2 studies. MeLi (0.25 mole) was added to 0.28 mole of NHEt2 in Et2O under N, 0.25 mole .omicron.-haloanisole added and the whole refluxed 24 hrs., hydrolyzed, the Et2O layer extd. with HCl, the acid soln. treated with NaOH to liberate the free base, m-methoxydiethylaniline (II), b14 146-8.degree., b0.5 87-9.degree., n20D 1.5437; picrate, yellow prisms, m. 145-6.degree.. An authentic sample of II was prepd. from m-hydroxydiethylaniline, Me2SO4, and NaOH. The following results were obtained [halogen, % yield (gross) of II, % recovery of .omicron.-haloanisole, % net yield of II, % yield of anisole (by-product) given]: iodine, 5.4, 22.9, 6.9, 22.2; Br, 32.8, 25.3, 43.8, 9.6; Cl, 26.4, 23.9, 34.6, 7.4; F, 26.2, -, -, -. m-Chloroanisole reacts immediately with Et2NLi, to give after 2 hrs. refluxing a 48% yield of II. .omicron.-Bromophenol (0.1 mole) and 0.2 mole of Et2NLi were refluxed 98 hrs. in Et2O to yield 15% m-diethylaminophenol or allowing for a recovery of 43% .omicron.-bromophenol, the net yield was 26%. Identity was established by conversion to m-diethylaminoanisole with Me2SO4 and then prepg. the picrate, m. 145.5-6.0.degree.. Bu2NLi similarly reacted with .omicron.-chloroanisole to give a 48% yield of m-methoxydibutylaniline (III), b1.9 136.5-8.5.degree., n20D 1.5205, d20 0.951, MR 75.4 (calcd.

76.7); picrate, m. 95-6.degree.. An authentic sample III prepd. by heating 0.18 mole m-anisidine, 0.54 mole BuI, 0.24 mole Na2CO3, and H2O for 5 hrs., adding Ac2O and 20% NaOH, extg. the mixt. with HCl, and freeing the amine with base. .omicron.-Chlorophenetole and Et2NLi refluxed together for 24 hrs. gave 21% starting material, 27% phenetole (characterized by cleavage to PhOH and prepn. of 2,4,6-tri-Br deriv.) and 38% m-diethylaminophenetole (IV). Authentic IV was prepd. from m-diethylaminophenol and Et2SO4, b0.6 97-8.degree., n20D 1.5342; picrate, m. 132-3.degree.. Li piperidide (from 0.2 mole MeLi and 0.22 mole piperidine) was refluxed 24 hrs. with 0.2 mole .omicron.-bromoanisole (V) to yield 41% (allowing for a 34% recovery of V) m-piperidinoanisole (VI), b0.2 110.degree., n20D 1.5628, d20 1.059, MR 58.8; picrate, m. 159.5-60.degree.. VI was identified by comparison with the picrate formed from m-chloroanisole and Li piperidide. Li morpholide (from MeLi and 0.23 mole morpholine) was added to V (0.2 mole) in Et2O and refluxed for 24 hrs. to give 8.6% yield of m-morpholinoanisole (VII), b0.15 113.degree., n20D 1.5650; picrate, m. 196-7.degree.. VII was also obtained from Li morpholide and m-chloroanisole. Et2NLi (0.22 mole) and 0.09 mole p-dibromobenzene were refluxed 25 hrs. in Et2O to yield 15% diethylaniline (picrates, m. 137-8.degree.) and 14% p-bromodiethylaniline (picrates, m. 165-6.degree.). From another expt. in which 0.1 mole each of the reagents were used, there was obtained 23% p-bromodiethylaniline.

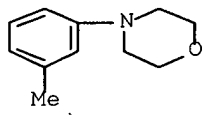
IT **32040-09-8**, Morpholine, 4-(m-methoxyphenyl)-
(prepn. of)

RN 32040-09-8 CAPLUS

CN Morpholine, 4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L18 ANSWER 232 OF 232 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1948:37239 CAPLUS
DN 42:37239
OREF 42:7911g-i,7912a-b
TI Toxicity of selected organic compounds to insects. I. Tests for general toxicity on larvae of Musca, Tribolium, and Ephestia, and adults of Sitophilus
AU Brown, A. W. A.; Robinson, D. B. W.; Hurtig, H.; Wenner, B. J.
CS Exptl. Sta., Suffield, Alberta, Can.
SO Can. J. Research (1948), 26D, 177-87
DT Journal
LA Unavailable
AB The toxicity of 127 synthetic org. compds. was tested against lab.-reared larvae of the housefly (M. domestica), the confused flour beetle (T. confusum), and the Mediterranean flour moth (E. kuehniella), and adults of the granary weevil (S. granarius). The compds. were mixed with the insects' food at varied concns. and the LC50 and LC90 were detd. from the exptl. data. Of the compds. tested 26 were chlorinated hydrocarbons, 13 DDT-type compds., 16 cyanides and nitriles, 5 N-methyl carbamates, 6 semicarbazones, 22 morpholine derivs., 6 nitro compds., and 7 thiocyanates; the balance were of varied types. The most highly toxic compds. were .gamma.-benzene hexachloride and chlordan (distd. from tech. chlordan); DDT was about 1/15 av. as toxic as the above compds., but was more toxic than any of its analogs, including methoxychlor. Hexachloropropene, hexachlorobutadiene, CCl3CHClCCl3, and HCCl2CCl2CCl3, all were highly toxic, apparently because of their powerful fumigant action. Phenylboric acid and benzyl thiocyanate were highly toxic; the .omicron.- and p-chloro- and 2,4-dichloro-derivs. of the latter were slightly less toxic. 4,6-Dinitro-.omicron.-cresol, 2-cyclohexyl-4,6-dinitrophenol, .beta.-nitrostyrene, and 2,3-dimethyl-2,3-dinitrobutane were toxic to granary weevil adults but were almost ineffective against housefly larvae. The semicarbazones were virtually ineffective, and only 4-(p- and 4-(m-tolyl))morpholine, of the 22 morpholine derivs. tested, were toxic. Of the 15 compds. most toxic to housefly larvae, 5 were nitriles, .omicron.-chlorophenylacetonitrile, phenylacetonitrile, and phthalonitrile being almost equally and most highly effective.
IT **7025-91-4**, Morpholine, 4-m-tolyl-
(insecticidal action of)
RN 7025-91-4 CAPLUS
CN Morpholine, 4-(3-methylphenyl)- (9CI) (CA INDEX NAME)



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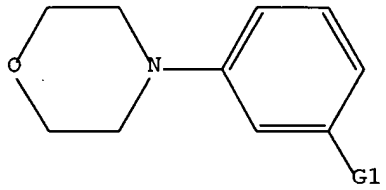


G1 C,O,S,N,P

Structure attributes must be viewed using STN Express query preparation.

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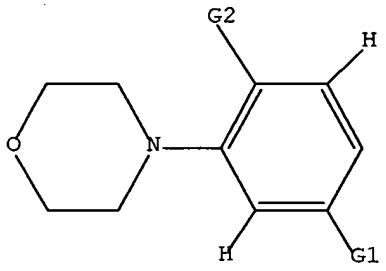
L4 STR

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G2 H,O,S,N,X

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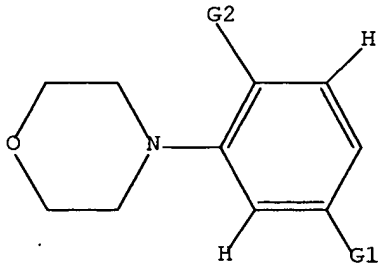


G1 C,O,S,N,P
G2 H,O,S,N,X

Structure attributes must be viewed using STN Express query preparation.

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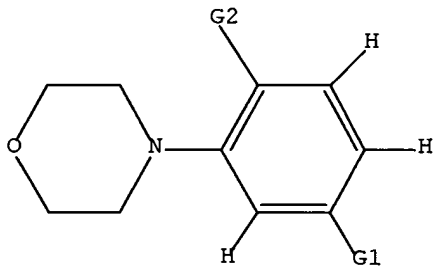
L11 STR




G1 C,O,S,N,P
G2 H,O,S,N,X

Structure attributes must be viewed using STN Express query preparation.

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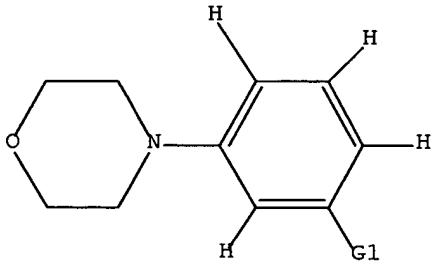
L15 STR




G1 C,O,S,N,P
G2 H,O,S,N,X

Structure attributes must be viewed using STN Express query preparation.

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FILE 'REGISTRY' ENTERED AT 19:36:30 ON 28 OCT 2003

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| L4 | | STRUCTURE UPLOADED |
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L7          2311 S L6

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L18          232 S L17


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FULL ESTIMATED COST                             154.49     449.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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